EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	89	564/373	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/06 11:42
S1	26	"5334756"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/06 09:35
S2	60	amidoalcohols	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:17
S3	548219	oxidation	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:17
S4	19	S2 and S3	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:28
S5	2065190	amidocarboxylic acids	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:18
S6	56	S2 and S5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:28
S7	0	lauroylmonoalkaolamide	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:21
S8	19786	lauroyl monoalkaolamide	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:21
S9	3850	S8 and S3	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:22
S10	3808	S9 and S5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:22
S11	5135984	process	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:22

EAST Search History

S12	3367	S10 and S11	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:22
S13	8632	TEMPO	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:23
S14	101	S8 and S13	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:24
S15	2502	S13 and S5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:25
S16	1	S2 and S15	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:25
S17	1972802	oxidizing agent	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:25
S18	2191	S17 and S15	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:25
S19	1142365	solvent	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:26
S20	1966	S18 and S19	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:26
S21	60	amidoalcohol	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:26
S22	1	S20 and S21	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:26
S23	0	S4 and S13	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:28
S24	1852391	S6 and oxidizing agent	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:29

EAST Search History

S25	50	S6 and S17	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/03 16:29
S26	47	562/6	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:47
S27	697	562/450	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:48
S28	0	S26 and S27	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:48
S29	2066007	amidocarboxylic acid	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:48
S30	689	S27 and S29	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:49
S31	60	amidoalcohol	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:48
S32	0	S30 and S31	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:48
S33	5138823	process	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:49
S34	600	S33 and S30	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:49
S35	56	S29 and S31	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/04 13:53
S36	39	"5557023"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/05 08:05
S37	27	"4537982"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/05 08:06

EAST Search History

S38	19	"4382153"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/05 08:07
S39	60	"3686159"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/05 08:07
S40	9	"4512988"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/03/06 11:41

CAS ONLINE PRINTOUT

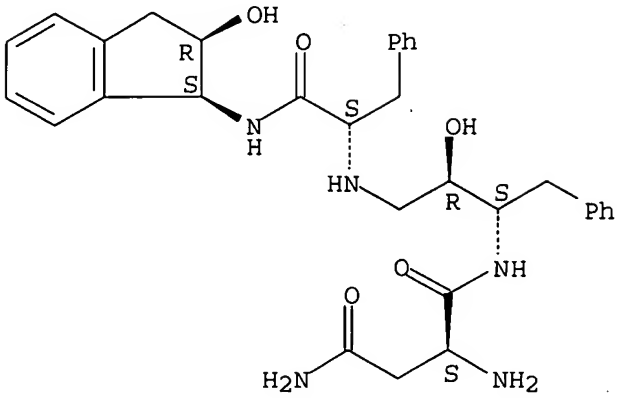
L9 ANSWER 268 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:512027 CAPLUS
DN 117:112027
TI A series of potent HIV-1 protease inhibitors containing a hydroxyethyl secondary amine transition state isostere: synthesis, enzyme inhibition, and antiviral activity
AU Tucker, Thomas J.; Lumma, William C., Jr.; Payne, Linda S.; Wai, Jenny M.; De Solms, S. Jane; Giuliani, Elizabeth A.; Darke, Paul L.; Heimbach, Jill C.; Zugay, Joan A.; et al.
CS Merck Res. Lab., West Point, PA, 19486, USA
SO Journal of Medicinal Chemistry (1992), 35(14), 2525-33
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 117:112027
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of HIV-1 protease inhibitors containing a novel hydroxyethyl secondary amine transition state isostere, e.g. I [R = Me3CO2C (Boc)] (II), were prepared Thus, the alumina-catalyzed ring opening of epoxide III with amide IV gave II. The compds. exhibit a strong preference for the (R) stereochem. at the transition state hydroxyl group. Mol. modeling studies with the prototype compound II have provided important important insights into the structural requirements for good inhibitor-active site binding interaction. N-terminal extension from II into the P2'-P3 region led to the discovery of I [R = Qua-Asn (Qua = 2-quinolylcarbonyl)] (V), the most potent enzyme inhibitor in the series (IC50 = 5.4 nM). V was shown to have potent antiviral activity in cultured MT-4 human T-lymphoid cells. Comparison of analogs of V with analogs of HIV protease inhibitor Ro31-8959 demonstrate that considerably different structure-activity relationships exist between these two subclasses of hydroxyethylamine HIV-protease inhibitors.
IT 142580-73-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, with quinolinecarboxylic acid)
RN 142580-73-2 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[2-[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [1S-[1α[R*[1R*(R*),2S*]],2α]]- (9CI) (CA INDEX NAME)

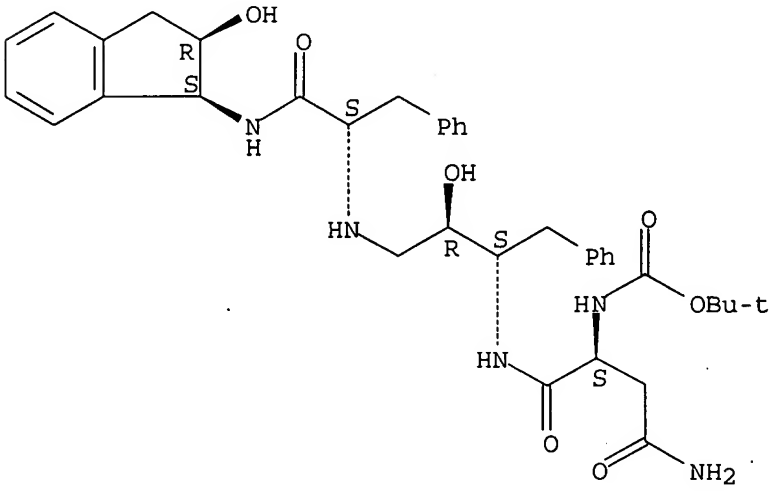
Absolute stereochemistry.

CAS ONLINE PRINTOUT



IT 142580-72-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 142580-72-1 CAPLUS
CN Carbamic acid, [3-amino-1-[[[3-[[2-[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1α[R*[1R*(R*),2S*]],2α]]- (9CI) (CA INDEX NAME)

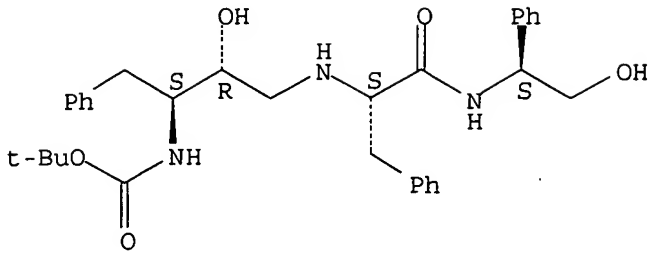
Absolute stereochemistry.



IT 142580-69-6P 142580-70-9P 142580-74-3P
142694-72-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and human immunodeficiency virus-1 protease-inhibiting activity of)
RN 142580-69-6 CAPLUS
CN Carbamic acid, [2-hydroxy-3-[[2-[(2-hydroxy-1-phenylethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [1S-[1R*,2S*[R*(R*)]]]- (9CI) (CA INDEX NAME)

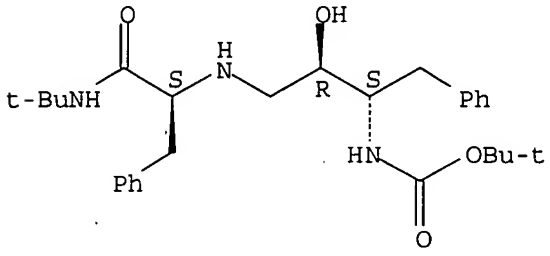
Absolute stereochemistry.

CAS ONLINE PRINTOUT



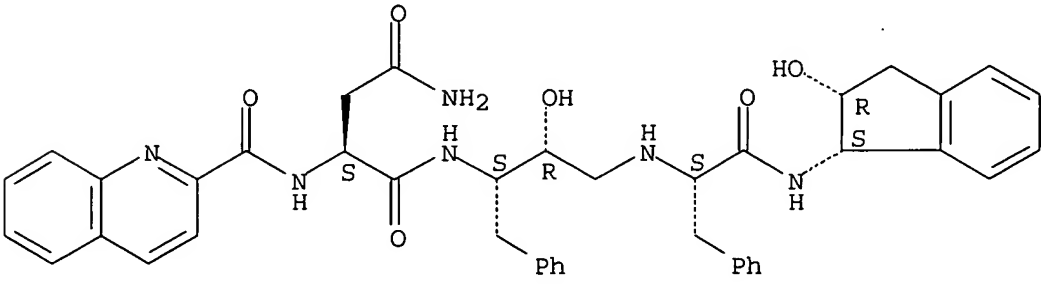
RN 142580-70-9 CAPLUS
CN Carbamic acid, [3-[[2-[(1,1-dimethylethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [1S-[1R*,2S*(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



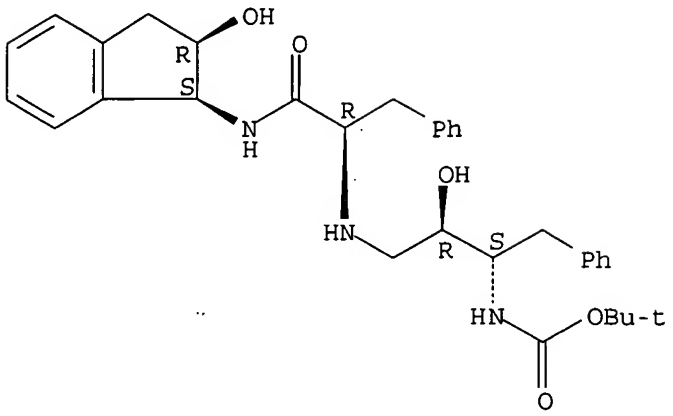
RN 142580-74-3 CAPLUS
CN Butanediamide, N1-[3-[[2-[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1α[R*[1R*(R*),2S*]],2α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



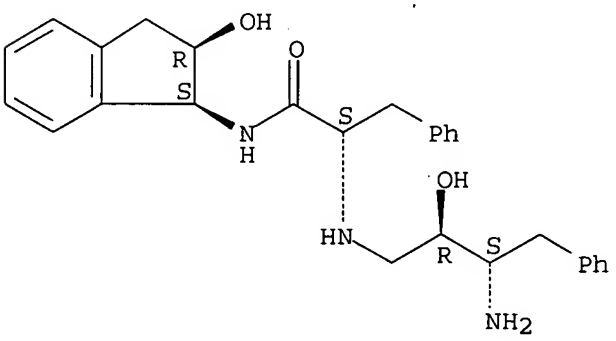
RN 142694-72-2 CAPLUS
CN Carbamic acid, [3-[[2-[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [1S-[1α[S*(1R*,2S*)],2α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 142580-71-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with asparagine derivative)
RN 142580-71-0 CAPLUS
CN Benzenepropanamide, α-[(3-amino-2-hydroxy-4-phenylbutyl)amino]-N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-, [1S-[1α[R*(2S*,3R*)],2.alpha.]]- (9CI) (CA INDEX NAME)

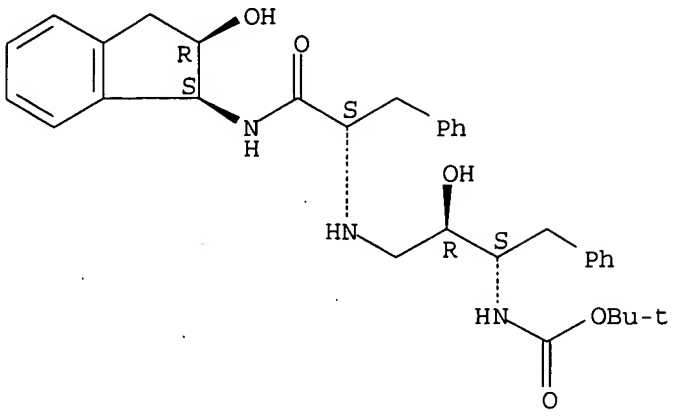
Absolute stereochemistry.



IT 142694-73-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, deblocking and human immunodeficiency virus-1 protease-inhibiting activity of)
RN 142694-73-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(1S)-2-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

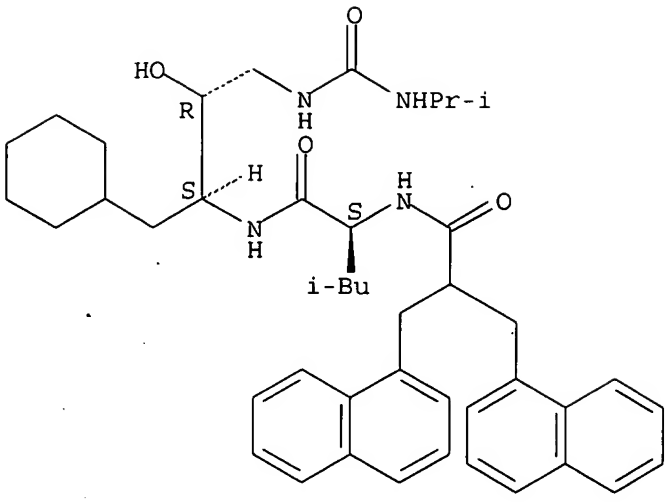
CAS ONLINE PRINTOUT



L9 ANSWER 269 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:114487 CAPLUS
DN 114:114487
TI Binding of a Bolton-Hunter substituted homostatin analog to affinity-immobilized human renin
AU Evenou, Jean Pierre; Weidmann, Beat; Hagenbach, Alexander; Metternich, Rainer; Pfenninger, Emil; Wagner, Heribert
CS Preclin. Res., Sandoz Pharma Ltd., Basel, CH-4002, Switz.
SO Biochemical Pharmacology (1990), 40(4), 765-70
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The binding of a Bolton-Hunter reagent substituted homostatin analog, SDZ 213-776 (I), to human renin was investigated at pH 6.5 and 7.4. At both pH values, I bound to human renin in a reversible and saturable manner. The binding characteristics conformed to a one-site binding model. The dissociation constant Kd, obtained at equilibrium, was four-fold lower at pH 6.5 that at pH 7.4 (0.94 nM vs. 3.7 nM). Under non-equilibrium conditions, only the association kinetic constant k+1 was affected by pH. The results of the binding assay at pH 6.5 correlated well with those obtained in enzymic assay at the same pH.
IT 130507-31-2, SDZ 213-853
RL: BIOL (Biological study)
(binding of, to renin of humans, kinetics of, renin inhibitor screening in relation to)
RN 130507-31-2 CAPLUS
CN 1-Naphthalenepropanamide, N-[1-[[[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(1-methylethyl)amino]carbonyl]amino]propyl]amino]carbonyl]-3-methylbutyl]-α-(1-naphthalenylmethyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAS ONLINE PRINTOUT



L9 ANSWER 270 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:193405 CAPLUS
DN 110:193405
TI Preparation of amino acid amidohydroxyalkylamides and pharmaceuticals containing them for the treatment of hypertension and hyperaldosteronism
IN Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 17 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3635907	A1	19880428	DE 1986-3635907	19861022
	EP 264795	A2	19880427	EP 1987-114975	19871013
	EP 264795	A3	19900328		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	AU 8779823	A	19880428	AU 1987-79823	19871015
	HU 47596	A2	19890328	HU 1987-4728	19871021
	HU 199875	B	19900328		
	JP 63112548	A	19880517	JP 1987-265548	19871022
	ZA 8707950	A	19880629	ZA 1987-7950	19871022
PRAI	DE 1986-3635907	A	19861022		

OS CASREACT 110:193405; MARPAT 110:193405
AB Pharmaceuticals contain hydroxy amino acid derivs. XZNR2CHR3CHOH(CH2)nNR4EY [I; X = H, R1OCmH2mCO, R1CmH2mO2C, R1CmH2mCO, R1SO2, etc.; Z = 1-4 amino acid residues; E = CONH, CSNH, CO2, SO2, SO2NH, etc.; Y = R5, CO2R6, CONR7R8, etc.; EY = pyrrolidinocarbonyl, piperidinocarbonyl, morpholinocarbonyl, pyrrolidinosulfonyl, etc.; R1, R3, R6, R7, R8 = H, alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, bicycloalkyl, etc.; R2, R4 = H, alkyl; R5 = H, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl; m = 0-5; n = 1, 2. I are used for the treatment of renin-dependent hypertension and hyperaldosteronism (no data). 1-Bromo-3S-BOC-amino-4-cyclohexylbutan-2-one was treated with NaN3 in DMF at 0° to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2-one; the latter was reduced with NaBH4 and the resulting epimers were resolved by chromatog. to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2S-ol and this was hydrogenated to give 1-amino-3S-BOC-amino-4-cyclohexylbutan-2S-ol. The latter was treated with isopentyl isocyanate, the BOC group was removed with 4N HCl in dioxane, the product was treated with

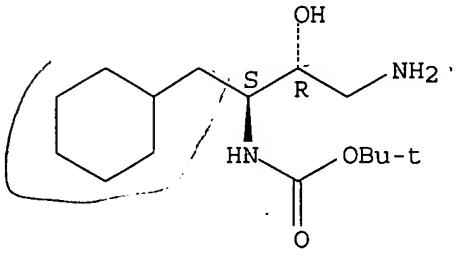
BOC-(imi-DNP-His)OH to give N-isopentyl-N'-[2S-hydroxy-3S-[BOC-(imi-DNP-His)amino]-4-cyclohexylbutyl]urea. This was deprotected and solvolyzed to give N-isopentyl-N'-[2S-hydroxy-3S-(BOC-Phe-His)amino-4-cyclohexylbutyl]urea (I). A solution containing 100 g I and 5 g Na2HPO4 in 3 L H2O at pH 6.5 was filled into ampules containing 500 mg I each.

IT 118546-52-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 118546-52-4 CAPLUS

CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

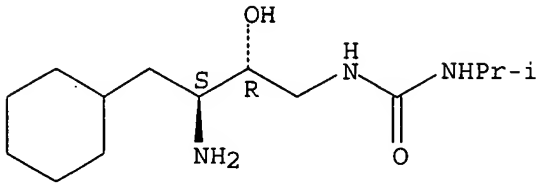


IT 120195-58-6P 120294-06-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for amino acid (amidohydroxyalkyl)amide antihypertensives)

RN 120195-58-6 CAPLUS

CN Urea, N-(3-amino-4-cyclohexyl-2-hydroxybutyl)-N'-(1-methylethyl)-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



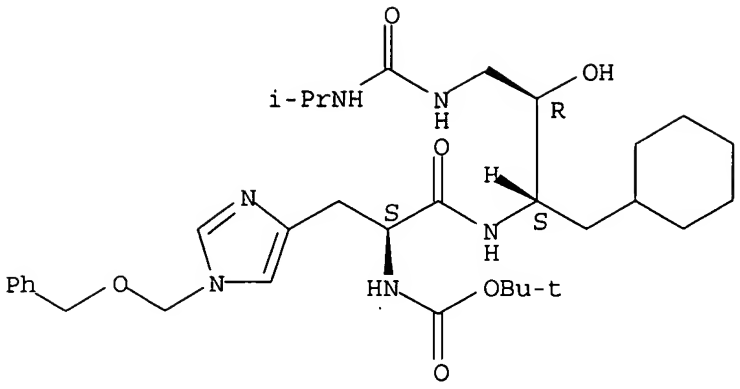
● HCl

RN 120294-06-6 CAPLUS

CN 2,5,9,11-Tetraazatridecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-12-methyl-4,10-dioxo-3-[[1-[(phenylmethoxy)methyl]-1H-imidazol-4-yl]methyl]-, 1,1-dimethylethyl ester, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

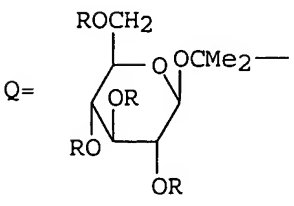
CAS ONLINE PRINTOUT



L9 ANSWER 271 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:173760 CAPLUS
DN 110:173760
TI Preparation of renin-inhibiting peptides
IN Hagenbach, Alexander; Metternich, Rainer; Pfenniger, Emil; Weidmann, Beat
PA Sandoz A.-G., Switz.
SO Brit. UK Pat. Appl., 88 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2200115	A	19880727	GB 1988-1040	19880118
	GB 2200115	B	19901114		
	NL 8800100	A	19880816	NL 1988-100	19880118
	CH 676988	A5	19910328	CH 1988-157	19880118
	DK 8800225	A	19880722	DK 1988-225	19880119
	FR 2609716	A1	19880722	FR 1988-636	19880119
	AU 8810375	A	19880901	AU 1988-10375	19880119
	BE 1002212	A5	19901016	BE 1988-67	19880119
	SE 8800169	A	19880722	SE 1988-169	19880120
	JP 01019053	A	19890123	JP 1988-10571	19880120
	ZA 8800415	A	19890927	ZA 1988-415	19880121
PRAI	DE 1987-3701526	A	19870121		
	DE 1987-3707339	A	19870307		

OS MARPAT 110:173760
GI

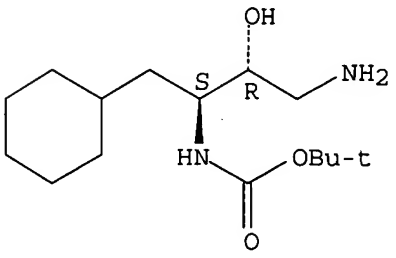


AB The title peptides A-B-C-NR1CHR2CHR3CH2-D-Y-NR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO; R6 = (un)branched, (un)substituted C1-10 alkyl, C3-7 cycloalkyl, C3-10 cycloalkyl(C1-5 alkyl), C6-10 aryl, 5- or 6-membered heteroaryl(C1-5 alkyl) containing 1 or 2 N, O, or S, or 1 N and 1 O and/or S in the heteroaryl moiety, (un)branched C1-5 alkoxy, C6-10 aryl-C1-5

alkoxy, Q, R10O(CH2CH2O)n(CH2)m; R = H, Ac; R10 = (un)branched C1-5 alkyl; n = 1-20; m = 1-5; R7 = (un)branched C1-5 alkyl, C6-10 aryl; R8, R9 = H, R7; R1 = H, (un)branched C1-5 alkyl; B, C = bond, NR1CHR11CO, excluding B = C = bond; R11 = hydrophilic or lipophilic amino acid side chain; D = O, NR1, CHR1; R2 = (un)branched C1-10 alkyl, (un)substituted C3-10 cycloalkyl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, R15S(O)s(CH2)p; R15 = H, C1-4 alkyl, CH2Ph; s = 0, 1; p = 1, 2; R3 = H, OH, NH2, O2CR2; R4, R5 = H, (un)branched C1-5 alkyl, C6-10 aryl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, CHR12COR13; R12 = (un)branched C1-5 (hydroxy)alkyl; R13 = OH, NH2 (un)branched C1-5 alkoxy, (un)branched C1-5 alkylamino, CH2Ph, NR4R5, 1-pyrrolidinyl, 1-piperidinyl, morpholino, (N-substituted)-1-piperazinyl, etc.; Y = SO2, CO, PNR4R5], useful as renin inhibitors (no data), were prepared A solution of 4 g MeSO2NMe2 in 50 mL THF was mixed at 0-5° with 20 mL 1.6M BuLi in hexane. After 0.5 h, 3.7 g N-tert-butoxycarbonylcyclohexylalaninal was added at once and was allowed to react 0.5 h to give (2R,3S)-3-N-(tert-butoxycarbonylamino)-4-cyclohexyl-2-hydroxy-N,N-dimethyl-1-butanesulfonamide as the main product and the (2R,3R)-isomer as a byproduct.

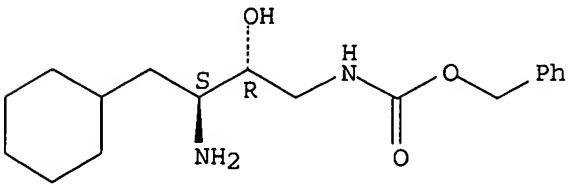
IT 118546-52-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, with benzyl chloroformate)
RN 118546-52-4 CAPLUS
CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 118550-82-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, with phenylalanylnorleucine derivative)
RN 118550-82-6 CAPLUS
CN Carbamic acid, (3-amino-4-cyclohexyl-2-hydroxybutyl)-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

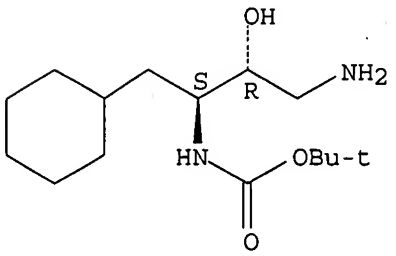


RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and amidation of, with phenylalanylnorleucine deriv.

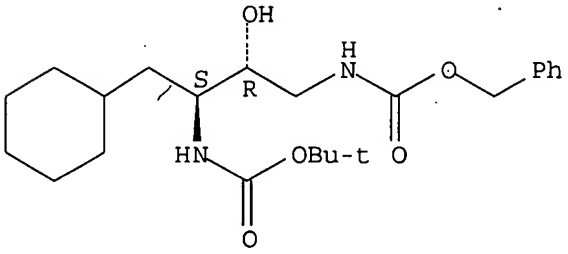
IT 118546-52-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and carbamoylation of, by Bu isocyanate)
RN 118546-52-4 CAPLUS
CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 118550-80-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and selective deprotection of)
RN 118550-80-4 CAPLUS
CN Carbamic acid, [4-cyclohexyl-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxybutyl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

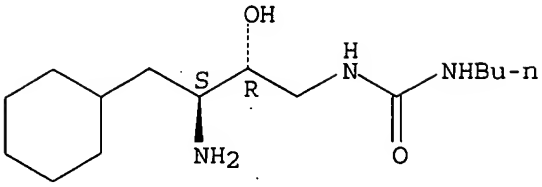
Absolute stereochemistry.



IT 118546-71-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin-inhibiting peptide)
RN 118546-71-7 CAPLUS
CN Urea, N-(3-amino-4-cyclohexyl-2-hydroxybutyl)-N'-butyl-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAS ONLINE PRINTOUT

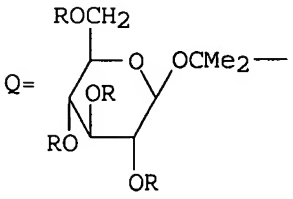


● HCl

L9 ANSWER 272 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:135732 CAPLUS
DN 110:135732
TI Preparation and testing of peptide amides as renin inhibitors
IN Hagenbach, Alexander; Metternich, Rainer; Pfenninger, Emil; Weidmann, Beat
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 26 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3800591	A1	19880804	DE 1988-3800591	19880112
	NL 8800100	A	19880816	NL 1988-100	19880118
	CH 676988	A5	19910328	CH 1988-157	19880118
	DK 8800225	A	19880722	DK 1988-225	19880119
	FR 2609716	A1	19880722	FR 1988-636	19880119
	AU 8810375	A	19880901	AU 1988-10375	19880119
	BE 1002212	A5	19901016	BE 1988-67	19880119
	SE 8800169	A	19880722	SE 1988-169	19880120
	JP 01019053	A	19890123	JP 1988-10571	19880120
	ZA 8800415	A	19890927	ZA 1988-415	19880121
PRAI	DE 1987-3701526	A1	19870121		
	DE 1987-3707339	A1	19870307		

OS CASREACT 110:135732; MARPAT 110:135732
GI



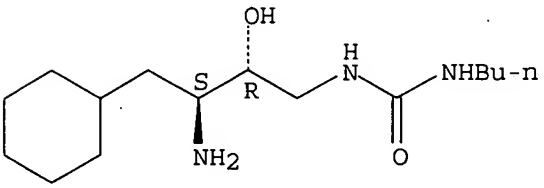
AB A-B-C-NR1CHR2CHR3CH2DYNR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO, sugar moiety Q; B, C = bond, NR1CHR10CO; D = bond, O, NR1, CHR1; Y = SO2, CO, P(:O)NR4R5; R = H, Ac; R1 = H, C1-5 alkyl; R2 = C1-10 alkyl, (substituted) cycloalkylalkyl, aralkyl, heteroarylalkyl, etc.; R3 = H, OH, amino, alkoxycarbonyl, etc.; R4, R5 = H, C1-5 alkyl, aralkyl, heteroarylalkyl, etc.; R4R5N = morpholino, piperazino, piperidino, pyrrolidino; R6 = (substituted) C1-10 alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R7 = C1-5 alkyl, C6-10 aryl, R8, R9 = H, R7; R10 = hydrophilic or

lipophilic amino acid side chain], useful as cardiovascular agents, were prepared MeSO2NMe2 in THF at 0-5° was treated with BuLi and after 0.5 h BOC-cyclohexylalaninal (BOC = Me3CO2C) was added. The mixture was stirred 0.5 h to give (2R,3S)-3-(BOC-amino)-N,N-dimethyl-4-cyclohexyl-2-hydroxy-1-butanesulfonamide. I inhibit human plasma renin with IC50 of 10-5 to 10-11 M.

IT 118546-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, in preparation of renin inhibitor)

RN 118546-71-7 CAPLUS
CN Urea, N-(3-amino-4-cyclohexyl-2-hydroxybutyl)-N'-butyl-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

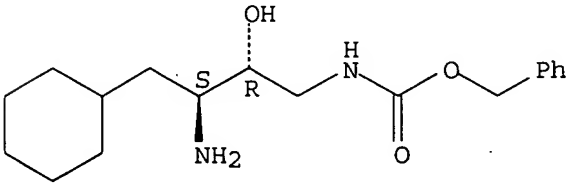


● HCl

IT 118546-38-6P 118546-49-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin inhibitor)

RN 118546-38-6 CAPLUS
CN Carbamic acid, (3-amino-4-cyclohexyl-2-hydroxybutyl)-, phenylmethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) ' (CA INDEX NAME)

Absolute stereochemistry.

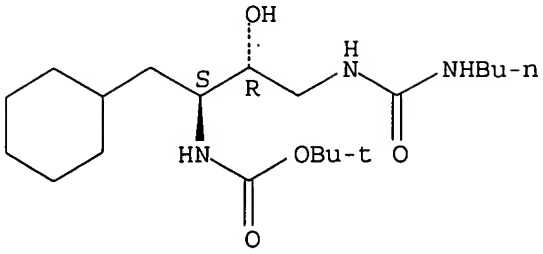


● HCl

RN 118546-49-9 CAPLUS
CN Carbamic acid, [3-[[(butylamino)carbonyl]amino]-1-(cyclohexylmethyl)-2-hydroxypropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

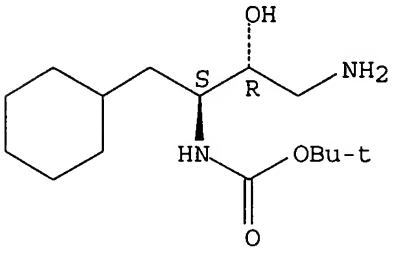
Absolute stereochemistry.

CAS ONLINE PRINTOUT



IT 118546-52-4P 118546-53-5P 118550-80-4P
118550-82-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as renin inhibitor intermediate)
RN 118546-52-4 CAPLUS
CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-,
1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

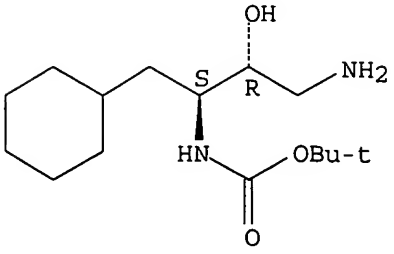


RN 118546-53-5 CAPLUS
CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-,
1,1-dimethylethyl ester, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 118546-52-4
CMF C15 H30 N2 O3

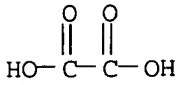
Absolute stereochemistry.



CM 2

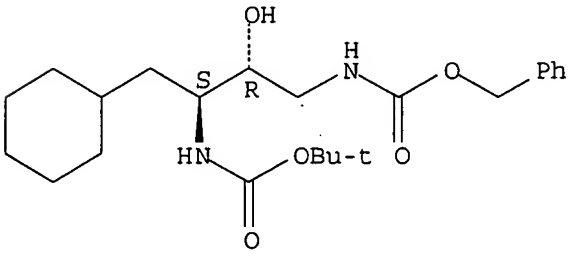
CRN 144-62-7
CMF C2 H2 O4

CAS ONLINE PRINTOUT



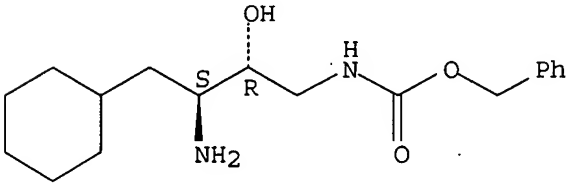
RN 118550-80-4 CAPLUS
CN Carbamic acid, [4-cyclohexyl-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxybutyl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



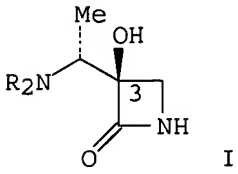
RN 118550-82-6 CAPLUS
CN Carbamic acid, (3-amino-4-cyclohexyl-2-hydroxybutyl)-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 273 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:135696 CAPLUS
DN 110:135696
TI Synthesis of an analog of tabtoxinine as a potential inhibitor of D-alanine:D-alanine ligase (ADP forming)
AU Greenlee, William J.; Springer, James P.; Patchett, Arthur A.
CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SO Journal of Medicinal Chemistry (1989), 32(1), 165-70
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 110:135696
GI

CAS ONLINE PRINTOUT



I

AB The design and synthesis of a potential inhibitor of D-alanine:D-alanine ligase (ADP forming) (EC 6.3.2.4) are described. This enzyme, which catalyzes the second step in the biosynthesis of bacterial peptidoglycan, is believed to generate D-alanylphosphate as an enzyme-bound intermediate. With tabtoxinine (a potent inhibitor of glutamine synthetase) as a model, β-lactams (3R)- and (3S)-I (R = H) were synthesized as potential precursors of a D-alanylphosphate mimic. The structure of I (R = CH2CH:CH2) was proved by x-ray crystallog.

IT 119391-97-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reaction of, with phthalic anhydride)

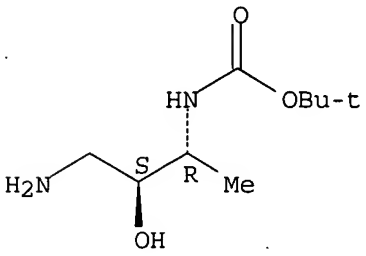
RN 119391-97-8 CAPLUS

CN Carbamic acid, (3-amino-2-hydroxy-1-methylpropyl)-, 1,1-dimethylethyl ester, [S-(R*,S*)]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

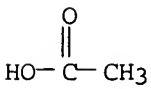
CRN 119391-96-7
CMF C9 H20 N2 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



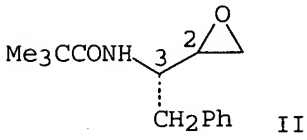
L9 ANSWER 274 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1987:576475 CAPLUS
DN 107:176475
TI Peptide renin inhibitors
IN Evans, Ben E.

CAS ONLINE PRINTOUT

PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 206090	A2	19861230	EP 1986-107870	19860610
	EP 206090	A3	19880427		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 4665055	A	19870512	US 1985-745560	19850617
	JP 61293957	A	19861224	JP 1986-139401	19860617
PRAI	US 1985-745560	A	19850617		

OS CASREACT 107:176475; MARPAT 107:176475
GI



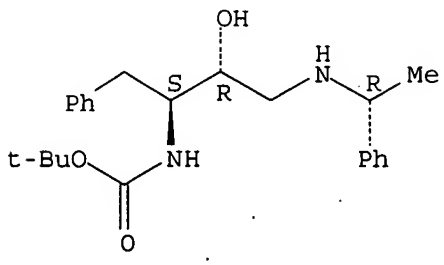
II

AB R1R2CHCH(OH)CH2CH(CH2R3)CONHCH(CH2R4)CH(OH)CH2COIVHCHR5R6[I; R1 = substituted NH2, substituted (di- or tri)amino acid amide residue and R2 = Me, alkylmethyl, (un)substituted PhCH2 or R1,R2 = H, linear (ar)alkyl or (ar)alkenyl; R3 = H, (hydroxy)alkyl, (un)substituted Ph; R4 = branched or linear alkyl, cycloalkyl, (un)substituted Ph; R5 = CHR7R8 (R7,R8 = H, alkyl, OH, Ph, cycloalkyl); R9 = CO2H, alkoxycarbonyl, (mono- and dialkyl) NH2, (un)substituted glycyl, (un)substituted amino acid residue, etc.], useful as renin inhibitors and for treatment of hypertension and hyperaldosteronism, were prepared Thus, condensation of H-Sta-Leu-NHCH2Ph.HCl [Sta = (3S,4S)-statyl] with (2RS, 4R, 5S)-PhCH2CH(NHCO2CMe3)CH(OSiMe2CMe3)CH2CH(CH2Ph)COR9 (III; R = OH), which was prepared in 8 steps from an oxirane II, in the presence of 1-ethyl-3-[3-(dimethylamino)propyl]carbodiimide-HCl and 1-hydroxybenzotriazole gave, after desilylation, IV (R = Sta-Leu-NHCH2Ph).

IT 98737-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as renin inhibitor and for treatment of hypertension and hyperaldosteronism)

RN 98737-30-5 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(1R)-1-phenylethyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

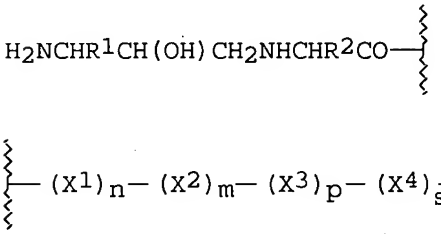


CAS ONLINE PRINTOUT

L9 ANSWER 275 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:207691 CAPLUS
DN 104:207691
TI N-(3-Amino-2-hydroxyalkyl)di- and tripeptides
IN Delaney, Norma G.; Gordon, Eric M.
PA E. R. Squibb and Sons, Inc., USA
SO U.S., 13 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4552866	A	19851112	US 1984-628004	19840705
	US 4670541	A	19870602	US 1985-732331	19850510
PRAI	US 1984-628004	A3	19840705		

OS MARPAT 104:207691
GI

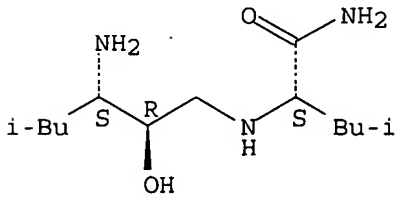


AB Peptides I (R1 and R2 are H, alkyl, carboxy-, halo-, hydroxy-, or aminoalkyl, etc.; n, m, p, s, and t are 0 or 1; X1 = Gly, Leu, Ala, Phe, Arg, Sar, Ser, Asn, Lys, etc.; X2, X3, X4, and X5 are Gly, Leu, Ala, Phe, Arg, Sar, Ser, Asn, Lys, etc.; R3 = OH, alkoxy, substituted alkoxy, amino), useful as analgesics (no data), were prepared Thus, H-Phe-Leu-OCMe3 was N-alkylated by Me3COC(O)NHCHMeCOCH2Cl and the product was converted in three steps to H2NCHMeCH(OH)CH2-Phe-Leu-OH·2HCl.

IT 102124-06-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as analgesic)

RN 102124-06-1 CAPLUS
CN Pentanamide, 2-[(3-amino-2-hydroxy-5-methylhexyl)amino]-4-methyl-, dihydrochloride, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

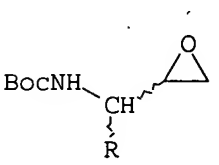
Absolute stereochemistry.



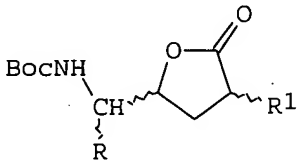
●2 HCl

CAS ONLINE PRINTOUT

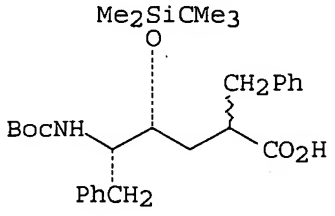
L9 ANSWER 276 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1985:596413 CAPLUS
DN 103:196413
TI A stereocontrolled synthesis of hydroxyethylene dipeptide isosteres using novel, chiral aminoalkyl epoxides and γ-(aminoalkyl)-γ-lactones
AU Evans, Ben E.; Rittle, Kenneth E.; Homnick, Carl F.; Springer, James P.; Hirshfield, Jordan; Veber, Daniel F.
CS Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SO Journal of Organic Chemistry (1985), 50(23), 4615-25
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 103:196413
GI



II



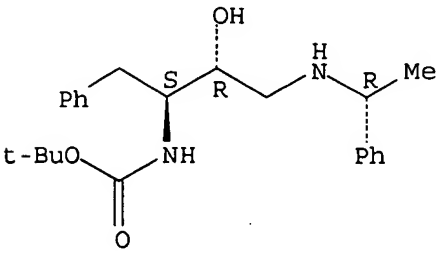
III



IV

AB A stereocontrolled synthesis of the hydroxyethylene dipeptide isosteric unit NHCHRCH(OH)CH2CHR1CO (I) from chiral epoxides II (Boc = Me3CO2C) via γ-lactones III is described. The synthesis is capable of providing all 8 stereoisomers of I and is amenable to variations of R and R1. Thus, isosteric dipeptide IV was prepared and used in the synthesis of larger peptides. II (R = Ph) was prepared by the cycloaddn. of ylide CH2:SMe2 with aldehyde BocNHCH(CH2Ph)CHO.
IT 98737-30-5P 98818-39-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 98737-30-5 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(1R)-1-phenylethyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

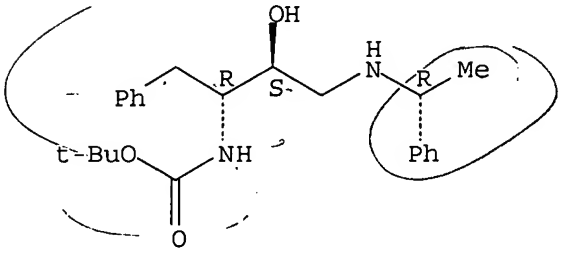
Absolute stereochemistry.



CAS ONLINE PRINTOUT

RN 98818-39-4 CAPLUS
CN Carbamic acid, [2-hydroxy-3-[(1-phenylethyl)amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [1R-[1R*,2S*,3(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

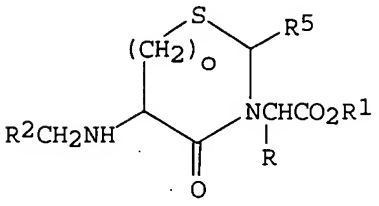


L9 ANSWER 277 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1985:471331 CAPLUS
DN 103:71331
TI Acylamino oxo or hydroxy-substituted alkylamino thiazines and thiazepines
IN Weller, Harold N., III; Gordon, Eric M.; Karanewsky, Donald S.; Ryono, Denis E.
PA E. R. Squibb and Sons, Inc., USA
SO U.S., 16 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

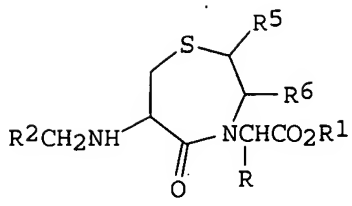
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4512988	A	19850423	US 1984-585058	19840301
	AU 8539255	A	19850912	AU 1985-39255	19850228
	AU 577831	B2	19881006		
	EP 154904	A1	19850918	EP 1985-102280	19850228
	EP 154904	B1	19871028		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8501555	A	19851030	ZA 1985-1555	19850228
	AT 30429	T	19871115	AT 1985-102280	19850228
	CA 1242438	A1	19880927	CA 1985-475365	19850228
	JP 60202870	A	19851014	JP 1985-41770	19850301
	JP 06088989	B	19941109		
PRAI	US 1984-585058	A	19840301		
	EP 1985-102280	A	19850228		

OS CASREACT 103:71331; MARPAT 103:71331
GI

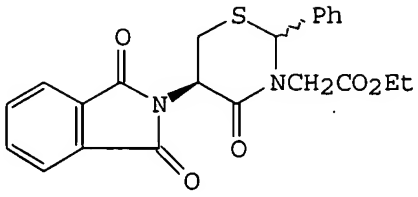
CAS ONLINE PRINTOUT



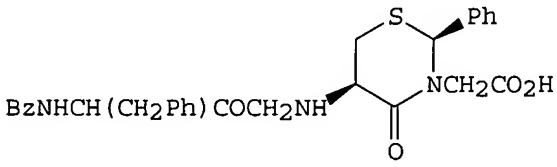
I



II



III



IV

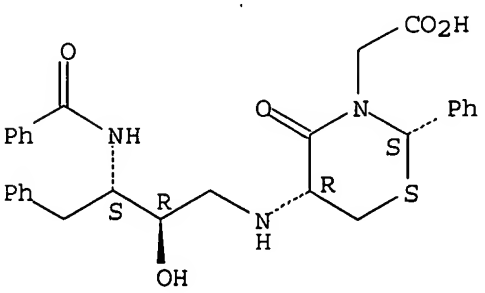
AB Antihypertensive (no data) thiazines and thiazepines I and II [R = H, alkyl, aminoalkyl, hydroxyalkyl, haloalkyl; R1 = H, alkyl, PhCH2, Ph2CH, Me3SiCH2CH2, salt forming ion, CHR7O2CR8 (R7 = H, alkyl, cycloalkyl, Ph; R8 = R7, alkoxy, PhCH2, PhCH2CH2); R2 = R3(CH2)mCONHCH[(CH2)nR4]C(Z); R3 = (substituted) Ph, thienyl, furyl, pyridyl; R4 = R3, OH, NH2, SH, halo, indolyl, imidazolyl, alkylthio, guanidino, carbamoyl, cycloalkyl; m = 0-4; n = 1-4; Z = O, (H, OH); R5, R6 = H, alkyl, cycloalkylalkyl, R5R6 = benzo; o = 1, 2] were prepared via inter- and intramol. cyclocondensations of cysteine derivs. Thus, cyclocondensation of N-phthaloyl-L-cysteine with PhCH:NCH2CO2Et gave thiazineacetate III as a mixture of diastereomers, the (2S)-isomer of which was transesterified with Me3SiCH2CH2OH, deprotected, alkylated with (S)-PhCH2CH(NHBz)COCH2Cl and hydrolyzed to give [2S-[2α,5α(S)]]-thiazine IV.

IT 97246-59-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 97246-59-8 CAPLUS

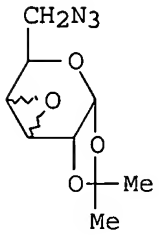
CN 2H-1,3-Thiazine-3(4H)-acetic acid, 5-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]dihydro-4-oxo-2-phenyl-, [2S-[2α,5α(2S*,3R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

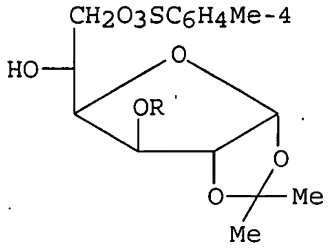


CAS ONLINE PRINTOUT

L9 ANSWER 278 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:218151 CAPLUS
DN 96:218151
TI Di- and polyamino sugars. XXVII. Syntheses of derivatives of 4,6-diamino-4,6-dideoxy-D-glucose, 4,6-diamino-4,6-dideoxy-D-gulose, 3,4,6-triamino-3,4,6-trideoxy-D-allose, and 3,4,6-triamino-3,4,6-trideoxy-D-galactose
AU Meyer zu Reckendorf, Wolfgang; Spohr, Ulrike
CS Inst. Pharm. Chem., Univ. Muenster, Muenster, D-4400, Fed. Rep. Ger.
SO Liebigs Annalen der Chemie (1982), (1), 137-49
CODEN: LACHDL; ISSN: 0170-2041
DT Journal
LA German
GI



I

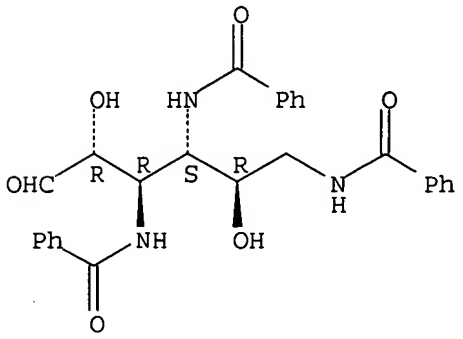


II

AB The azido sugar α-D-galacto-I was prepared from the tosylate II (R = Bz) in 4 steps. α-D-allo-I was similarly obtained from II (R = mesyl). I were converted to di- and triazides which were reduced to the amines.

IT 81058-44-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 81058-44-8 CAPLUS
CN D-Allose, 3,4,6-tris(benzoylamino)-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

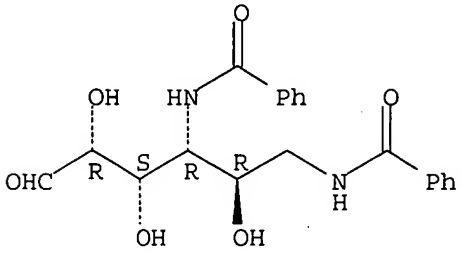
Absolute stereochemistry.



IT 81058-41-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 81058-41-5 CAPLUS
CN D-Glucose, 4,6-bis(benzoylamino)-4,6-dideoxy- (9CI) (CA INDEX NAME)

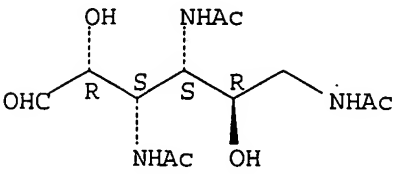
CAS ONLINE PRINTOUT

Absolute stereochemistry.



L9 ANSWER 279 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:52608 CAPLUS
DN 96:52608
TI Di- and polyamino sugars. XXVI. Syntheses of derivatives of 3,6-diamino-3,6-dideoxy-D-galactose, 3,4,6-triamino-3,4,6-trideoxy-D-galactose and 3,4,6-triamino-3,4,6-trideoxy-D-glucose
AU Meyer zu Reckendorf, Wolfgang; Spohr, Ulrike
CS Inst. Pharm. Chem., Univ. Muenster, Muenster, D-4400, Fed. Rep. Ger.
SO Liebigs Annalen der Chemie (1981), (11), 1982-93
CODEN: LACHDL; ISSN: 0170-2041
DT Journal
LA German
AB The title compds. were obtained by single or two-fold inversion of the configuration at C-4 of 3,6-diazido-3,6-dideoxy-1,2-O-isopropylidene-α-D-glucopyranose (I). The oxidation of I to the ketone II and subsequent reduction with NaBH4 were examined II was easily transformed into the C-3 epimeric ribo-ulose III which yielded an enol acetate. The reduction of II afforded a mixture of I and its galacto isomer while III and its enolacetate were stereoselectively reduced to form the allo isomer.
IT 80564-64-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 80564-64-3 CAPLUS
CN D-Glucose, 3,4,6-tris(acetylamino)-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

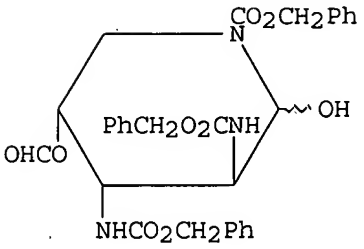
Absolute stereochemistry.



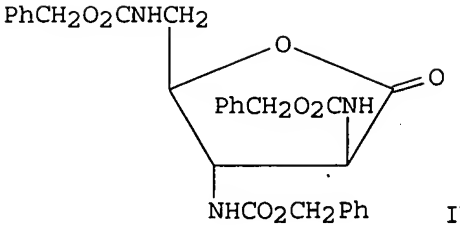
L9 ANSWER 280 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1979:138124 CAPLUS
DN 90:138124
TI Synthetic approach to 2,3,5-triamino-2,3,5-trideoxy-D-arabonic acid derivatives from 3,4,6-triazido-3,4,6-trideoxy-1,2-O-isopropylidene-α-D-glucopyranose
AU Kinoshita, Mitsuhiro; Aburaki, Shinpei; Kawada, Yasuyuki; Yamasaki, Takumi; Suzuki, Yoshiharu; Niimura, Yoichi
CS Fac. Eng., Keio Univ., Yokohama, Japan
SO Bulletin of the Chemical Society of Japan (1978), 51(11), 3261-6
CODEN: BCSJA8; ISSN: 0009-2673

CAS ONLINE PRINTOUT

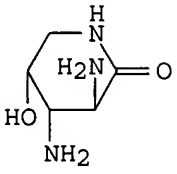
DT Journal
LA English
GI



III



IV



V

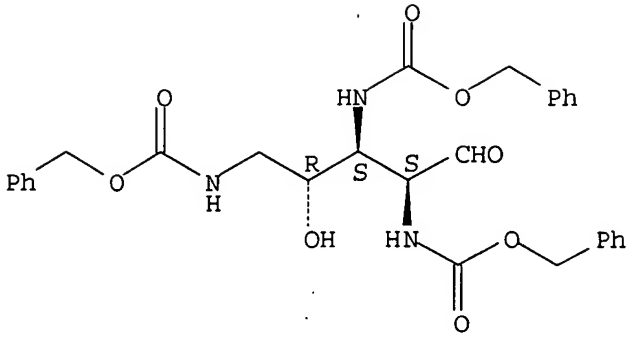
AB 3,6-Diazido-3,6-dideoxy-1,2-O-isopropylideene-α-D-glucofuranose was converted into the corresponding derivative of α-D-glucopyranose, which was transformed into 3,4,6-triazido-3,4,6-trideoxy-1,2-O-isopropylidene-α-D-glucopyranose (I) through the sequence of reactions involving displacement of sulfonate ester function with benzoate, followed by debenzoylation, sulfonylation, and nucleophilic substitution. Hydrogenolysis of I afforded the corresponding triamino derivative (II). The tri-N-benzyloxycarbonyl derivative of II was O-deisopropylidenated and oxidized with periodate to give the piperidinose derivative, deformylation of which yielded 2,3,5-tris(benzyloxycarbonylamino)-2,3,5-trideoxy-D-arabinopyranose. Pfitzner-Moffatt oxidation of III failed to give the corresponding lactam. Condensation of the 6-N-benzyloxycarbonyl derivative of II with cyanogen bromide afforded a cyclic guanidine derivative I was converted into a 3,4,6-tris(benzyloxycarbonylamino)-3,4,6-trideoxy-D-glucitol, which was then oxidized successively with periodate and CrO3-AcOH-C5H5N to give D-arabono-1,4-lactone IV this was further transformed into 2,3,5-trianimo-2,3,5-trideoxy-D-arabono-1,5-lactam (V).

IT 53332-15-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

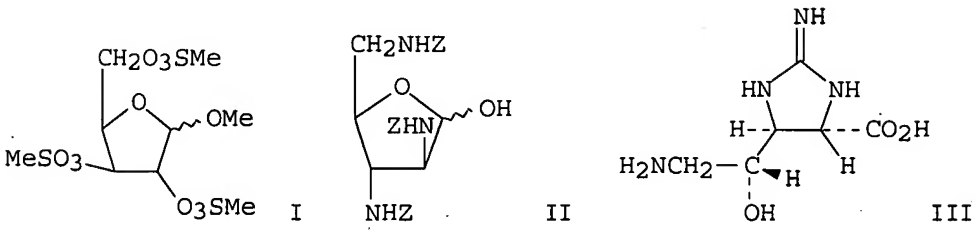
RN 53332-15-3 CAPLUS
CN D-Arabinose, 2,3,5-trideoxy-2,3,5-tris[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAS ONLINE PRINTOUT



L9 ANSWER 281 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:155880 CAPLUS
DN 86:155880
TI Synthesis of streptolidine from D-xylose
AU Kusumoto, Shoichi; Tsuji, Shinichi; Shima, Keiyuu; Shiba, Tetsuo
CS Fac. Sci., Osaka Univ., Toyonaka, Japan
SO Bulletin of the Chemical Society of Japan (1976), 49(12), 3611-14
CODEN: BCSJA8; ISSN: 0009-2673
DT Journal
LA English
GI

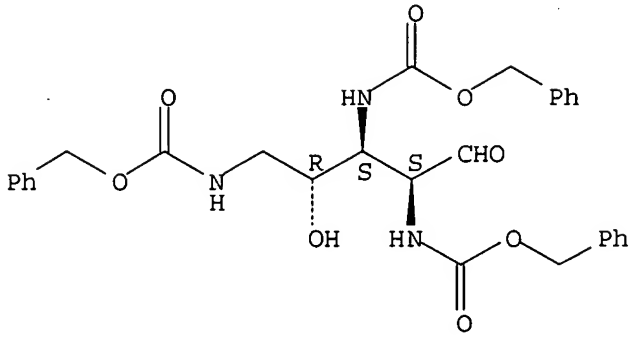


I II III

AB Azidolysis of I and subsequent reduction and reaction with PhCH2O2CCl gave II (Z = PhCH2O2C). Oxidation and guanidation of II gave streptolidine III. Use of the α-anomer of I gave a di- and triazide product, whereas the β-anomer gave only the diazide; the last could be isomerized to the α-anomer and converted to the triazide.
IT 53332-15-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53332-15-3 CAPLUS
CN D-Arabinose, 2,3,5-trideoxy-2,3,5-tris[[(phenylmethoxy)carbonyl]amino]-
(9CI) (CA INDEX NAME)

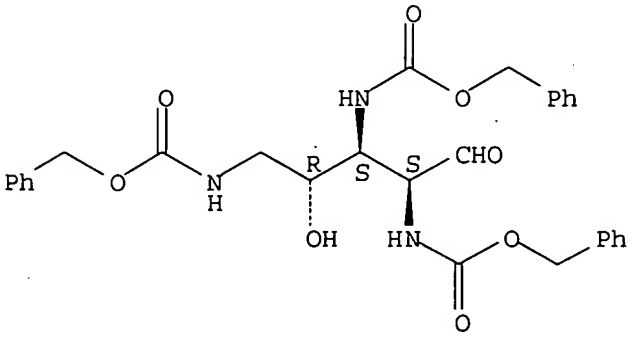
Absolute stereochemistry.

CAS ONLINE PRINTOUT



L9 ANSWER 282 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1975:43672 CAPLUS
DN 82:43672
TI Synthesis of streptolidine (roseonine, geamine)
AU Kusumoto, Shoichi; Tsuji, Shinichi; Shiba, Tetsuo
CS Fac. Sci., Osaka Univ., Toyonaka, Japan
SO Bulletin of the Chemical Society of Japan (1974), 47(11), 2690-5
CODEN: BCSJA8; ISSN: 0009-2673
DT Journal
LA English
OS CASREACT 82:43672
GI For diagram(s), see printed CA Issue.
AB Streptolidine (I), a component of the streptothricin group antibiotics, was prepared from D-ribose (II). The epimino compound (III) was prepd from II. Azidolysis of III gave the 3-azidoarabino compound, which in turn was converted to the key intermediate IV by oxidation of C-1. IV was rearranged to the free lactam after deprotection, and then guanidinated with BrCN. The identity of the hydrolysis product of this guanidino lactam with natural streptolidine certified the proposed structure involving the steric configurations.
IT 53332-15-3P 55024-31-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53332-15-3 CAPLUS
CN D-Arabinose, 2,3,5-trideoxy-2,3,5-tris[[(phenylmethoxy)carbonyl]amino]-
(9CI) (CA INDEX NAME)

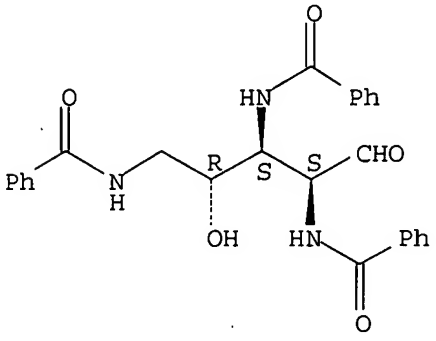
Absolute stereochemistry.



RN 55024-31-2 CAPLUS
CN D-Arabinose, 2,3,5-tris(benzoylamino)-2,3,5-trideoxy- (9CI) (CA INDEX NAME)

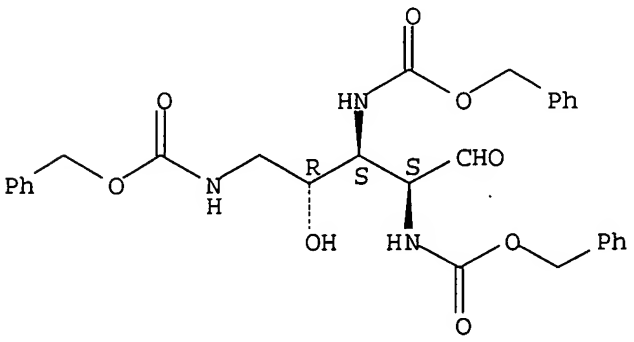
CAS ONLINE PRINTOUT

Absolute stereochemistry.



L9 ANSWER 283 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1974:478211 CAPLUS
DN 81:78211
TI Synthesis of streptolidine (roseonine, geamine)
AU Kusumoto, Shoichi; Tsuji, Shinichi; Shiba, Tetsuo
CS Dep. Chem., Osaka Univ., Osaka, Japan
SO Tetrahedron Letters (1974), (15), 1417-20
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The bis(benzyloxycarbonyl) derivative I with NaN3-DMF followed by catalytic hydrogenation gave the triamino compound II. Benzyloxycarbonylation of II, hydrolysis, CrO3 oxidation, and treatment with HBr-HOAc gave lactone III.-3HBr. III.3HBr with aqueous NaOH and then BrCN gave streptolidine (IV) identical with the natural compound
IT 53332-15-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 53332-15-3 CAPLUS
CN D-Arabinose, 2,3,5-trideoxy-2,3,5-tris[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

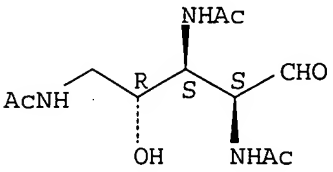


L9 ANSWER 284 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1974:478210 CAPLUS

CAS ONLINE PRINTOUT

DN 81:78210
TI Synthesis of rosenine (streptolidine), a guanidino amino acid component of streptothricin group antibiotics
AU Goto, Toshio; Ohgi, Tadaaki
CS Dep. Agric. Chem., Nagoya Univ., Nagoya, Japan
SO Tetrahedron Letters (1974), (15), 1413-16
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The intermediate I was prepared from diazide II in 6 steps. Hydrolysis of I followed by treatment of the triamino γ-lactone with diisopropylaminomethylpolystyrene and excess BrCN in aqueous MeOH gave roseonine (III) identical with the natural compound
IT 53332-24-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chromic acid oxidation of)
RN 53332-24-4 CAPLUS
CN D-Arabinose, 2,3,5-tris(acetylamino)-2,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

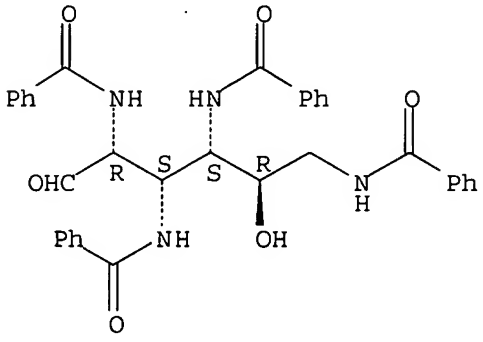


L9 ANSWER 285 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1974:413723 CAPLUS
DN 81:13723
TI Di- and polyamino sugars. XX. Synthesis of 2,3,4,6-tetraamino-2,3,4,6-tetradeoxy-D-glucose
AU Meyer zu Reckendorf, Wolfgang; Wassiliadou-Micheli, Niobe
CS Inst. Pharm. Chem., Univ. Muenster, Muenster, Fed. Rep. Ger.
SO Chemische Berichte (1974), 107(4), 1188-94
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB The mesylate I (R = MeSO3, R1 = NHAc) underwent inversion with AcONa in MeOCH2CH2OH to give II (R = OH), the mesylate II (R = MeSO3) of which was treated with NaN3 in Me2SO to give the diazide I (R = N3, R1 = NHAc) (III) of the desired sugar. Similar conversion succeeded with the azide I (R = MeSO3, R1 = N3), and the resulting tri-azide I (R = R1 = N3) was hydrogenated to give the amine, which with HCl gave the hydrochloride I.3HCl (R = R1 = NH2) (IV). Reduction and saponification of III gave V.4HCl (R = R1 = NH2), which was also obtained from IV. Hydrogenation of the benzoyl and acetyl derivative of V in H2O-MeOH gave VI (R = Bz or Ac), resp. Catalytic hydrogenation of V.4HCl (R = R1 = NH2) (Pd/C, pH 3) led probably to the pyrrolidine VII.4HCl [R = CH(OH)CH2NH2], from the mother liqs. of which a small amount desired VI.4HCl (R = H) was obtained.
IT 52887-75-9P 52887-76-0P 52887-78-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

CAS ONLINE PRINTOUT

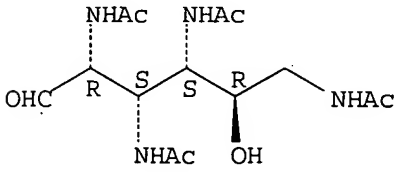
RN 52887-75-9 CAPLUS
CN D-Glucose, 2,3,4,6-tetrakis(benzoylamino)-2,3,4,6-tetradeoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



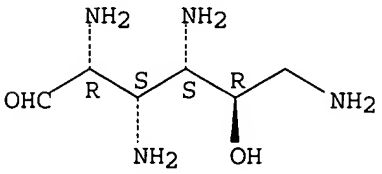
RN 52887-76-0 CAPLUS
CN D-Glucose, 2,3,4,6-tetrakis(acetylamino)-2,3,4,6-tetradeoxy- (9CI) (CA INDEX NAME)

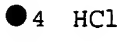
Absolute stereochemistry.



RN 52887-78-2 CAPLUS
CN D-Glucose, 2,3,4,6-tetraamino-2,3,4,6-tetradeoxy-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



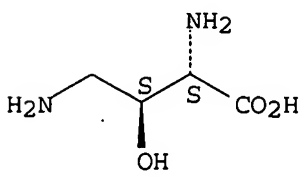


L9 ANSWER 286 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1967:94934 CAPLUS
DN 66:94934
TI Compounds of Amanita fungi. XXIV. Muscazone
AU Reiner, R.; Eugster, Conrad H.
CS Univ. Zurich, Zurich, Switz.
SO Helvetica Chimica Acta (1967), 50(1), 128-36

CAS ONLINE PRINTOUT

CODEN: HCACAV; ISSN: 0018-019X
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB cf. CA 63, 5447g, 6786f, 8337d, 8427f, 10005a. Several chemical reactions are given which support the previously elucidated structure of muscazone ([2(3H)-oxazolon-5-yl]glycine) (I). I heated with CuCO3 gave a Cu complex decomposing at >230°. Following I derivs. were prepared as usual: I-HCl decomposing at 156-8° (Et2O-EtOH), N-acetylmuscazone decomposing at 149-50° (C6H6), N-benzoylmuscazone decomposing at 182° (EtOH-H2O), N-benzoylmuscazone Me ester decomposing at 155° (MeOH), N-benzyloxycarbonylmuscazone decomposing at 160° and N-benzyloxycarbonylmuscazone Me esterm. 158-9° (AcOEt). I (1 g.) in 250 ml. water reduced in the presence of Pd-charcoal gave 900 mg. erythro-dihydromuscazone (II) decomposing at 186° (Me2CO-H2O). As usual were prepared the Cu complex of II decomposing at 195-7°, benzyloxycarbonyl dihydromuscazone decomposing at 177-8° (Et2O-petroleum ether). II (200 mg.) was heated with 5 ml. Ac2O and 5 ml. pyridine at 70-80° for 30 min. to give 100 mg. of a Dakin-West product (III), decomposing at 195°. Cooled 60 mg. III in 4 ml. C6H6 were treated with 1 ml. SOCl2. The mixture was heated to give 40 mg. oxazolyloxazolone, decomposing, at 195° (Me2CO). A mixture of 600 mg. II, and 35 ml. 6N HCl were heated in a Carius tube at 120° for 16 hrs. The hydrolyzate was evaporated in vacuo and the residue dissolved in N AcOH to give after preparative electrophoresis 437 mg. erythro-α,γ-diamino-β-hydroxybutyric acid-HCl (IV) decomposing at 208° (HCl-EtOH), monopicrate decomposing at 195°, the Cu complex decomposing at 161°. The N,N'-dibenzoyl derivative of IV decomposing at 167° and the N,N'-dibenzoyl derivative Me ester decomposing 160° were prepared as usual. The mother liquor from the preparation of IV yielded 70 mg. threo-α,γ-diamino-β-hydroxybutyric acid-HCl, decomposing at 170-80°, picrate decomposing at 212-13°. The Cu complex of IV reacted with COCl2 and MePh to give II. I (500 mg.) was boiled with 6 ml. AcOH followed by the addition of 2 ml. Ac2O. The mixture was heated and the hydrate evaporated in vacuo to give 210 mg. N-acetylisomuscazone (V), decomposing at 170-1°. V hydrolyzed with HCl gave I. V boiled with Ac2O gave 37% N,N'-diacetylisomuscazone, decomposing at 204°. V (100 mg.) refluxed with 5 ml. AcOH for 40 min. gave 35 mg. 5-acetamidomethyleneoxazolidone, decomposing at 176-8°. Attempted rearrangement of N-acetylmuscazone into V by heating in AcOH yielded 2-methylpyrimidine-4-carboxylic acid, decomposing at 204-6°.
IT 13627-44-6P 13627-45-7P 13891-05-9P
14343-55-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13627-44-6 CAPLUS
CN Butyric acid, 2,4-diamino-3-hydroxy-, dihydrochloride, erythro- (8CI) (CA INDEX NAME)

Relative stereochemistry.

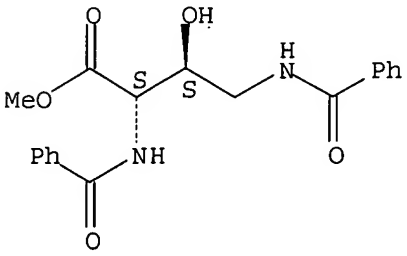


●2 HCl

CAS ONLINE PRINTOUT

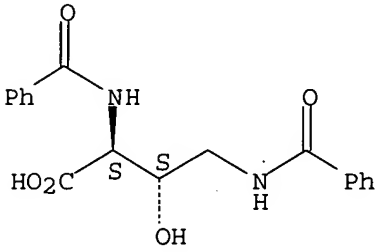
RN 13627-45-7 CAPLUS
CN Butyric acid, 2,4-dibenzamido-3-hydroxy-, methyl ester, erythro- (8CI) (CA INDEX NAME)

Relative stereochemistry.



RN 13891-05-9 CAPLUS
CN Butyric acid, 2,4-dibenzamido-3-hydroxy-, erythro- (8CI) (CA INDEX NAME)

Relative stereochemistry.

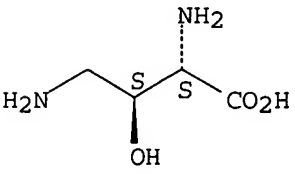


RN 14343-55-6 CAPLUS
CN Butyric acid, 2,4-diamino-3-hydroxy-, monopicrate, erythro- (8CI) (CA INDEX NAME)

CM 1

CRN 44804-69-5
CMF C4 H10 N2 O3

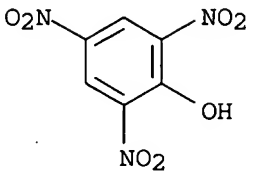
Relative stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

CAS ONLINE PRINTOUT



L9 ANSWER 287 OF 287 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1960:38832 CAPLUS
DN 54:38832
OREF 54:7573f-i,7574a-h
TI Amino acids and peptides. XXVIII. [Stereospecific] synthesis of threo- and erythro-DL-α,γ-diamino-β-hydroxybutyric acid (γ-aminothreonine and γ-aminoallothreonine)
AU Sicher, J.; Rajsner, M.; Rudinger, J.; Eckstein, M.; Sorm, F.
CS Ceskoslov. akad. ved, Prague
SO Collection of Czechoslovak Chemical Communications (1959), 24, 3719-29
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
AB cf. C.A. 53, 2186g. 3,4-Dicarbomethoxypyrazoline (85 g.) hydrogenated over Raney Ni in MeOH at 75-96 atmospheric with initial heating to 60-5°, the dark purple-brown solution saturated with HCl at 5°, left overnight, and the separated crystals (50 g.) purified from 95% MeOH gave the trans form (I) of 3-amino-4-carbomethoxy-2-pyrrolidone hydrochloride (II), m. 222° (decomposition), while the mother liquors yielded cis-II.HCl, m. 185° (decomposition). The ratio of the isomers differed from run to run with I predominating. Crude hydrogenation product evaporated to dryness, the residue dissolved in 15% HCl, and kept overnight gave trans-3-amino-4-carboxy-2-pyrrolidone hydrochloride (III), m. 237° (decomposition). III (5 g.) treated with cooling and shaking in 2N NaOH with 3.7 ml. BzCl gave 5 g. trans-3-benzamido-4-carboxy-2-pyrrolidone, m. 217-18° (80% MeOH); Me ester m. 203°. I (19.5 g.) suspended in 200 ml. dry CHCl3 and treated with stirring at 0° first with 19.5 g. N-ethylpiperidine then with 12.5 ml BzCl (both in CHCl3), the mixture stirred another hr. at room temperature, 50 ml. H2O added, and the separated crystals washed with CHCl3 and H2O gave 18.2 g. trans form (IV) of 3-benzamido-4-carbomethoxy-2-pyrrolidone (V), m. 203° (MeOH). cis-V, m. 195° (75% aqueous MeOH), obtained analogously, passed readily into IV when refluxed 30 min. with MeONa in absolute MeOH, whereas IV remained unaffected under the same conditions, thus proving configuration of both compds. The transform (VI) of 3-benzamido-4-carboxy-2-pyrrolidone hydrazide (VII), obtained by heating briefly 16.5 g. IV with 20 ml. 40% N2H4.H2O, or in preparative runs in 45% over-all yield by benzoylating the crude hydrogenation mixture of I and cis-II and treating the crude product after isomerization with N2H4.H2O as above, gave stout prisms, m. 247.5-8.5° (MeOH). cis-VII, obtained in 72% yield, m. 237° (MeOH). VI (9.8 g.) with 75 ml. 3% HCl, 30 ml. PhCH2OH, and 45 ml. AcOEt treated with 32 ml. 10% NaNO2 below 5°, the mixture stirred 10 min., the organic layer separated, dried, the solvents evaporated at 30°, the residue heated to 100° until evolution of N ceased (30-40 min.), and the mixture triturated with Et2O gave 10.93 g. trans-3-benzamido-4-carbobenzoxyamino-2-pyrrolidone (VIII), m. 183-4.5° (MeOH); analogous treatment of 2.62 g. cis-VII gave an azide, which yielded when heated with PhCH2OH or dioxane on a water bath 1.9 g. lactam of cis-1-benzoyl-4-aminomethyl-2-imidazolidone-5-carboxylic acid, m. 231-2° (aqueous EtOH). VIII (9.5 g.) treated with 20 ml. 35% HBr in AcOH, the mixture let stand with exclusion of moisture until evolution of CO2 ceased (2-3 hrs.), 100 ml. dry Et2O added, the precipitated HBr salt washed

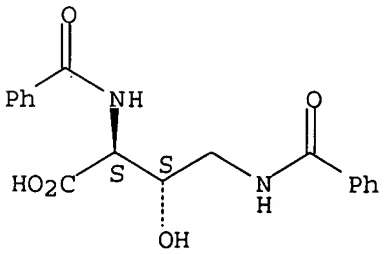
with Et2O, and dried at 60° gave hygroscopic HBr salt of trans-3-benzamido-4-amino-2-pyrrolidone (IX), characterized as picrate, m. 220° (aqueous EtOH). Aqueous solution of IX from 9.5 g. VIII added with cooling and stirring in 20 min. 10 g. NaNO2 in 20 ml. H2O, the mixture kept 2 hrs. at 0°, and the crystals washed with H2O gave 3.05 g lactam of cis-2-phenyl-4-carboxy-5-aminomethyl-Δ2-oxazoline (X), m. 223-4° (MeOH); picrate m. 184-5° (aqueous EtOH). X (4 g.) refluxed 5 hrs. in 6N HCl gave 3 g. di-HCl salt of γ-aminoallothreonine (XI), m. 219° (decomposition), which lost HCl on recrystn. from aqueous solvents to yield mono-HCl salt, m. 229° (decomposition); monopicrate of XI m. 195° (aqueous EtOH). XI (1 g.) benzoylated in N NaOH as usual, the resulting 1.3 g. erythroα,γ-dibenzamido-β-hydroxybutyric acid, m. 170-2° (decomposition) (H2O), esterified with CH2N2 in Et2O, the Me ester, m. 160-2°, added in parts to cold SOCl2, the mixture let stand at 0° overnight, and worked up as usual gave 82% oxazoline picrate, m. 173-4° (MeOH), which yielded when refluxed 5 hrs. with 6N HCl, the cooled mixture extracted with PhNO2 and Et2O, the aqueous layer evaporated to dryness in vacuo, and treated with picric acid the picrate of γ-aminothreonine, m. 196-8° (aqueous EtOH). VIII (2.3 g.) refluxed 5 hrs. with 6N HCl gave, instead of the expected threo-α,β,γ-triaminobutyric acid, 0.9 g. crystals of apparently trans-3,4-diamino-2-pyrrolidone-2HCl (XII), characterized as dipicrate, m. 209° (decomposition) (H2O), and yielding on treatment with p-MeC6H4SO2Cl in pyridine trans-N,N'-bis(p-toluenesulfonyl)-3,4-diamino-2-pyrrolidone, m. 211-12° (EtOH). XII resisted refluxing 40 hrs. with 6N HCl and was extremely stable owing to the presence of 2 vicinal NH2 groups, whereas 10 g. I refluxed with 6N HCl 5 hrs. easily gave 7.4 g. erythro-α-amino-α'-aminomethylsuccinic acid (XIII), m. 252-5° (decomposition) (H2O), characterized as erythro-α-benzamido-α'-benzamidomethylsuccinic acid (XIV), m. 205° (decomposition) (aqueous EtOH), while hydrolysis of cis-II and subsequent benzoylation gave the corresponding threo epimers of XIII and XIV, m. 160° and 189-90°, resp. XIV (3 g.) in Ac2O refluxed 3 min. gave 2.1 g. cis-α-benzamido-α'-benzamidomethylsuccinic anhydride, m. 200° (Me2CO-petr. ether). The exceptional resistance of XII towards acid hydrolysis was discussed and explained as an unusually strong conformational effect. Participation of a neighboring benzamido group in HNO2 deamination was here observed for the first time.

IT 13891-05-9P, Butyric acid, 2,4-dibenzamido-3-hydroxy-, erythro-
RL: PREP (Preparation)
(preparation of)

RN 13891-05-9 CAPLUS

CN Butyric acid, 2,4-dibenzamido-3-hydroxy-, erythro- (8CI) (CA INDEX NAME)

Relative stereochemistry.



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